

10/825,279

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FILE 'CAPLUS' ENTERED AT 13:56:51 ON 29 MAR 2005

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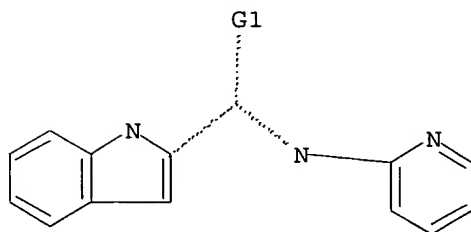
FILE COVERS 1907 - 29 Mar 2005 VOL 142 ISS 14

FILE LAST UPDATED: 28 Mar 2005 (20050328/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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L1 STR



G1 O,S

Structure attributes must be viewed using STN Express query preparation.

L3 33 SEA FILE=REGISTRY SSS FUL L1

L5 10 SEA L3

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L4 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:1019782 CAPLUS

DN 142:6433

TI Preparation of aryl amides, arylpropenamides, and arylpentadienamides as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases

IN Yamamori, Teruo; Nagata, Kiyoshi; Ishizuka, Natsuki; Sakai, Katsunori

PA Japan

SO U.S. Pat. Appl. Publ., 43 pp.

CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/825,279

PI US 2004235888 A1 20041125 US 2004-489333 20040421  
WO 2001-JP7980 W 20010914

OS MARPAT 142:6433

AB Amides Ar1(R)NC(:Z)(CY2:CY1)nA and Ar1(R)NC(:Z)(CHY2CHY1)nA [A = Ar2, optionally fused with a monocyclic carbocycle or heterocycle; Ar1, Ar2 = mono- or bicyclic aromatic carbocycle or heterocycle; R = H, (un)substituted alkyl; Y1, Y2 = H, halogen, HO2C, NC, (un)substituted alkyl, alkoxy-carbonyl, Ph, aromatic heterocyclyl; Z = O, S; n = 0-2] such as N-2-pyridinyl trans- $\beta$ -(2-furanyl)acrylamide (I) and N-Ph trans-cinnamide (II) are prepared as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases. I is prepared in 57% yield by condensation of 2-pyridineamine and trans-2-furylacrylic acid with bromotrichloromethane and triphenylphosphine in THF. The minimal EDs for enhancement of human apolipoprotein AI expression by some compds. of the invention are given. E.g., II enhances human apolipoprotein AI expression with a minimal ED of 0.13  $\mu$ g/mL.

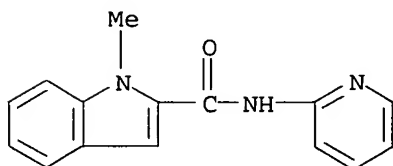
IT 62289-86-5P 340258-78-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryl amides, arylpropenamides, and arylpentadienamides as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases)

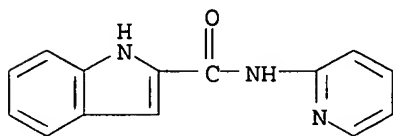
RN 62289-86-5 CAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 340258-78-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:934332 CAPLUS

DN 141:379914

TI A preparation of indolecarboxamide and thieno[2,3-b]pyrrolecarboxamide derivatives, useful as antidiabetic agents

IN Bussolotti, Donald L.; Gammill, Ronald B.

PA Pfizer Inc, USA

SO U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DT Patent

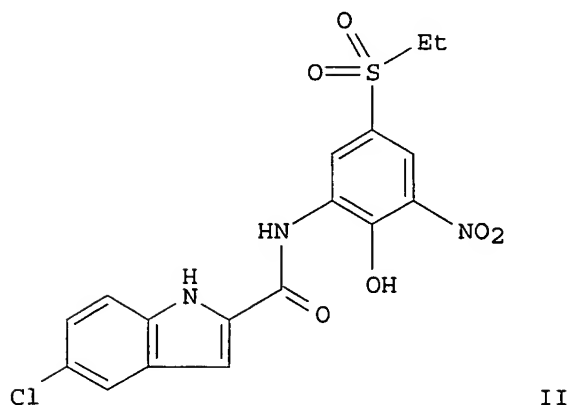
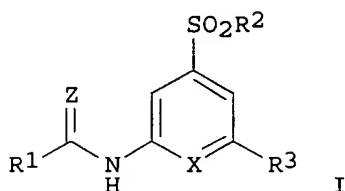
LA English

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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10/825,279

PI US 2004220229 A1 20041104 US 2004-837468 20040430  
US 2003-466667P P 20030430  
WO 2004096768 A1 20041111 WO 2004-IB1400 20040423  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,  
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,  
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,  
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,  
SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN,  
TD, TG  
US 2003-466667P P 20030430  
OS MARPAT 141:379914  
GI



AB The invention relates to a preparation of indolecarboxamide and thieno[2,3-b]pyrrolicarboxamide derivs. of formula I [wherein: R1 is (un)substituted indol-2-yl or 2-chlorothieno[2,3-b]pyrrol-5-yl; R2 is alkyl substituted with 1-3 fluorine atoms; R3 is H, NO2, NH2, or NH-alkyl, etc.; X is N, CH, or C-O-alkyl; Z is O or S], useful in treatment of diabetes, insulin resistance, diabetic neuropathy, diabetic retinopathy, hypertension, hyperlipidemia, and atherosclerosis, etc. For instance, indolecarboxamide derivative II was prepared via amidation of 5-chloro-1H-indole-2-carboxylic acid by 2-amino-4-(ethylsulfonyl)-6-nitrophenol with a yield of 62% (example 1).

IT **783370-03-6P**

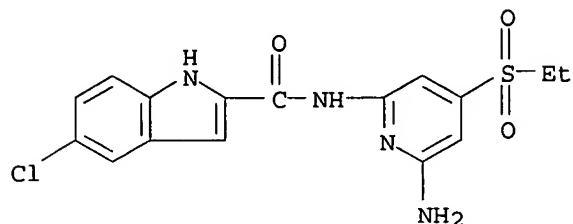
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolecarboxamide and thieno[2,3-b]pyrrolicarboxamide derivs., useful as antidiabetic agents)

10/825,279

RN 783370-03-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-[6-amino-4-(ethylsulfonyl)-2-pyridinyl]-5-chloro- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:902369 CAPLUS

DN 141:379911

TI N-(Pyridin-2-yl)-substituted bicyclic heterocyclic carboxamide derivatives as antidiabetic agents, and their preparation, pharmaceutical compositions, and methods of use as inhibitors of glycogen phosphorylase

IN Bussolotti, Donald L.; Gammill, Ronald B.

PA Pfizer Products Inc., USA

SO PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DT Patent

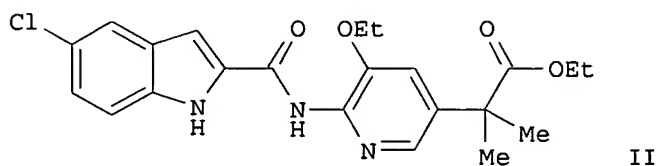
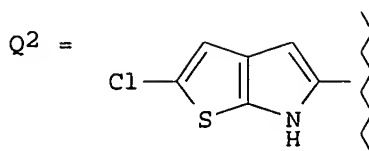
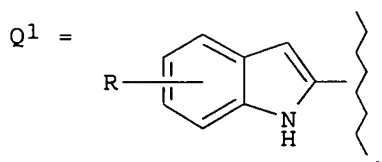
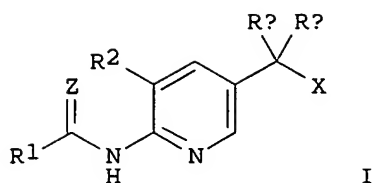
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004092158	A1	20041028	WO 2004-IB1198	20040405
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	RW:				
	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004229916	A1	20041118	US 2003-463691P	P 20030417
				US 2004-825279	20040415
				US 2003-463691P	P 20030417

OS MARPAT 141:379911

GI



AB The invention provides title compds. I, the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compds., stereoisomers, and prodrugs [wherein: R<sub>1</sub> = Q<sup>1</sup> or Q<sup>2</sup>; R = 1-3 of H, NH<sub>2</sub>, cyano, NO<sub>2</sub>, halo, alkyl, or alkoxy; R<sub>2</sub> = alkoxy; R<sub>a</sub>, R<sub>b</sub> = Me or OH, provided that both are not OH simultaneously; X = CH<sub>2</sub>OH, CO<sub>2</sub>R<sub>c</sub>; R<sub>c</sub> = H, alkyl, or CON(heterocycloalkyl); Z = O or S]. Also provided are pharmaceutical compns. and uses of I, particularly for the treatment of atherosclerosis, diabetes, insulin resistance, diabetic neuropathy, diabetic nephropathy, diabetic retinopathy, cataracts, hypercholesterolemia, hypertriglyceridemia, hyperlipidemia, hyperglycemia, hypertension, tissue ischemia, or myocardial ischemia. The compds. are inhibitors of glycogen phosphorylase (no data). Preps. of approx. 7 compds. and various intermediates are given. For instance, coupling of 3-ethoxy-2-nitropyridine with Et 2-chloropropionate using NaH in DMF, with di-Me sulfate quenching, and reduction of the nitro group to amino with ammonium formate, gave 2-(6-amino-5-ethoxypyridin-3-yl)-2-methylpropionic acid Et ester. Amidation of this intermediate with the acid chloride of 5-chloro-1H-indole-2-carboxylic acid gave invention compound II.

IT **781614-93-5P**, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid ethyl ester

**781615-11-0P**, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid sodium salt

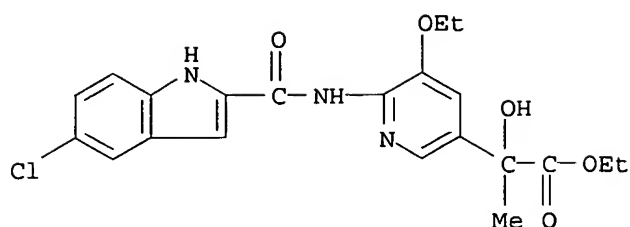
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate and intermediate; preparation of N-pyridinyl bicyclic heterocyclic carboxamides as antidiabetics and inhibitors of glycogen phosphorylase)

RN 781614-93-5 CAPLUS

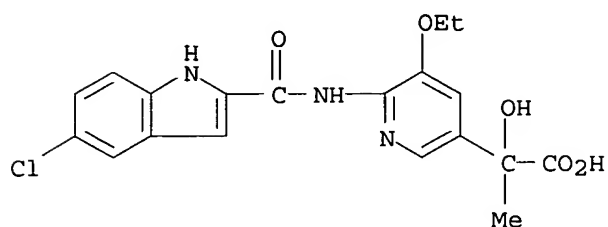
CN 3-Pyridineacetic acid, 6-[[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy-α-hydroxy-α-methyl-, ethyl ester (9CI) (CA INDEX NAME)

10/825,279



RN 781615-11-0 CAPLUS

CN 3-Pyridineacetic acid, 6-[[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl-, monosodium salt (9CI) (CA INDEX NAME)



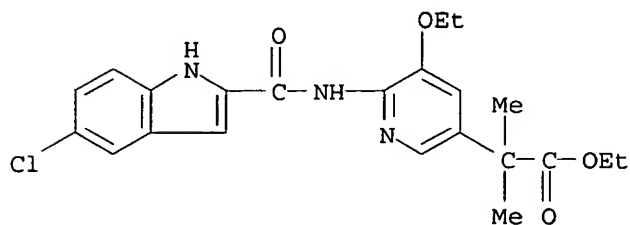
● Na

IT **781614-91-3P**, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-methylpropionic acid ethyl ester  
**781614-95-7P**, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid **781614-96-8P**, 5-Chloro-1H-indole-2-carboxylic acid N-[5-(1,2-dihydroxy-1-methylethyl)-3-ethoxypyridin-2-yl]amide **781614-98-0P**, 5-Chloro-1H-indole-2-carboxylic acid N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(morpholin-4-yl)-2-oxoethyl]pyridin-2-yl]amide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of N-pyridinyl bicyclic heterocyclic carboxamides as antidiabetics and inhibitors of glycogen phosphorylase)

RN 781614-91-3 CAPLUS

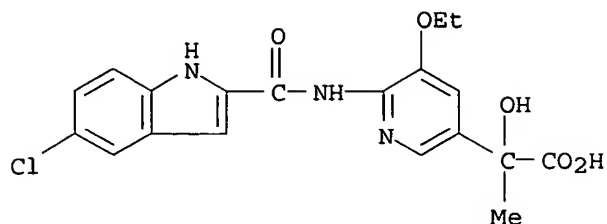
CN 3-Pyridineacetic acid, 6-[[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha,\alpha$ -dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 781614-95-7 CAPLUS

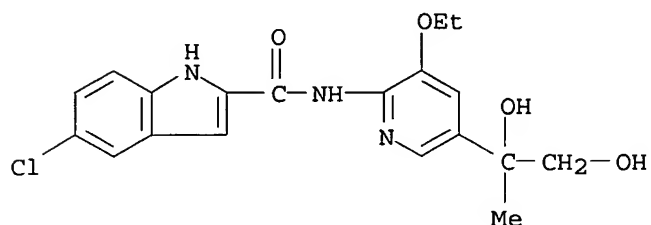
CN 3-Pyridineacetic acid, 6-[[[(5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl- (9CI) (CA INDEX NAME)

10/825,279



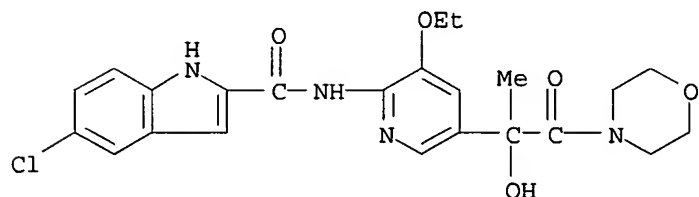
RN 781614-96-8 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxy-1-methylethyl)-3-ethoxy-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 781614-98-0 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(4-morpholinyl)-2-oxoethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:546476 CAPLUS

DN 141:106368

TI Preparation and use of substituted 2,5-diamidoindoles for the treatment of urological diseases

IN Ergueden, Jens; Krahn, Thomas; Schroeder, Christian; Stasch, Johannes Peter; Weigand, Stefan; Wild, Hanno; Brands, Michael; Siegel, Stephan; Heimbach, Dirk; Keldenich, Joerg; Tajimi, Masaomi; Matsumoto, Hiroko

PA Bayer Healthcare A.-G., Germany

SO PCT Int. Appl., 147 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

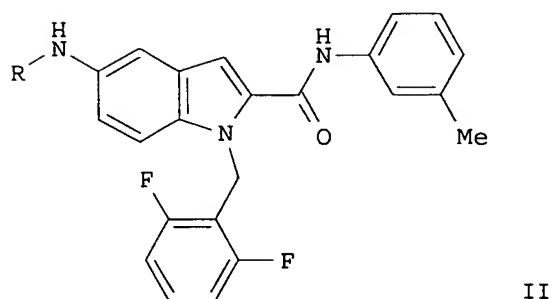
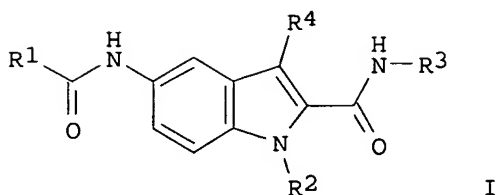
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004056768	A2	20040708	WO 2003-EP13819	20031206
	WO 2004056768	A3	20040805		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 2002-28718 A 20021220

OS MARPAT 141:106368  
GI



AB The title compds. [I; R1 = alkyl, alkenyl, (CH2)<sub>n</sub>G (wherein G = cycloalkyl, 5-6 membered heterocyclyl having 1-2 O atoms; n = 0-4); R2 = alkyl, (CH2)<sub>m</sub>cycloalkyl, (CH2)<sub>m</sub>heterocyclyl, (CH2)<sub>m</sub>aryl, (CH2)<sub>m</sub>heteroaryl (m = 0-4); R3 = (CH2)<sub>o</sub>cycloalkyl, (CH2)<sub>o</sub>heterocyclyl, (CH2)<sub>o</sub>aryl, (CH2)<sub>o</sub>heteroaryl (o = 0-4); R4 = H, alkyl, (CH2)<sub>p</sub>cycloalkyl, (CH2)<sub>p</sub>heterocyclyl, (CH2)<sub>p</sub>aryl, (CH2)<sub>p</sub>heteroaryl (p = 0-4)], useful for the preparation of medicaments for treating urol. disorders in humans and/or animals, were prepared. Thus, amidation of the amine II [R = H] (preparation given) with 3,3-dimethylbutyryl chloride in the presence of Et<sub>3</sub>N in CH<sub>2</sub>Cl<sub>2</sub> afforded 45% II [R = Me<sub>3</sub>CCH<sub>2</sub>CO]. Biol. data (IC<sub>50</sub>'s against ECE) for representative compds. I were given. Medicaments for treating urol. disorders comprising the compound I are claimed.

IT **509149-88-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

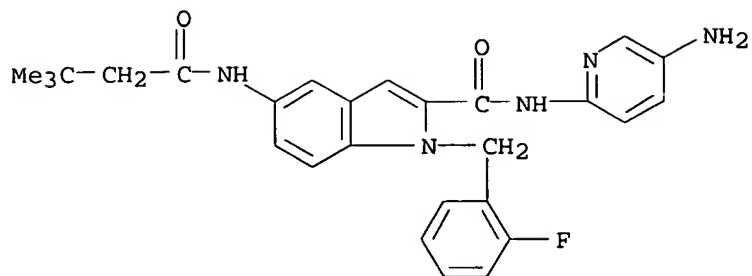
(preparation and use of substituted 2,5-diamidoindoles as ECE inhibitors for the treatment of urol. diseases)

RN 509149-88-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-(5-amino-2-pyridinyl)-5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



10/825,279



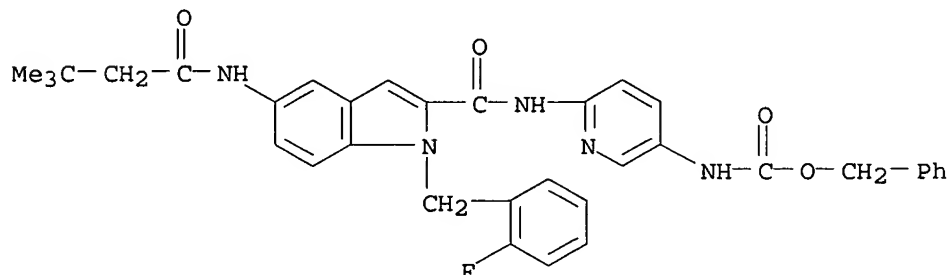
IT 509150-45-2P 509150-46-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

(preparation and use of substituted 2,5-diamidoindoles as ECE inhibitors for  
the treatment of urol. diseases)

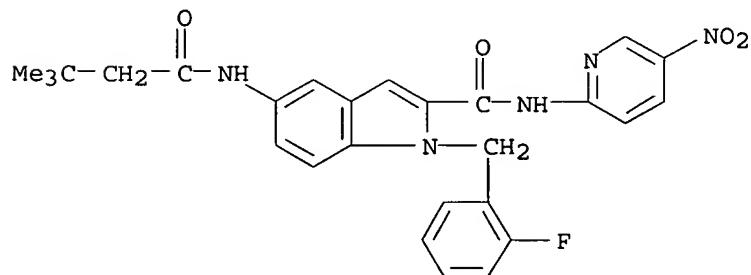
RN 509150-45-2 CAPLUS

CN Carbamic acid, [6-[[[5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-1H-indol-2-yl]carbonyl]amino]-3-pyridinyl]-,  
phenylmethyl ester (9CI) (CA INDEX NAME)



RN 509150-46-3 CAPLUS

CN 1H-Indole-2-carboxamide, 5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)



L4 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2004:515503 CAPLUS

DN 141:71452

TI Preparation of pyridine derivatives as JNK inhibitors

IN Kallin, Elisabeth; Plobeck, Niklas; Swahn, Britt-Marie

PA Astrazeneca Ab, Swed.

SO PCT Int. Appl., 98 pp.

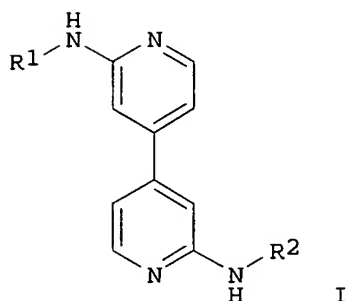
CODEN: PIXXD2

10/825,279

DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004052880	A1	20040624	WO 2003-SE1911	20031208
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OS MARPAT 141:71452  
GI



AB The title compds. [I; R1 = aryl or heteroaryl, each of which is optionally substituted with one or more of R3, OR3, OCOR3, COOR3, COR3, CONR3R4, NHCOR3, NR3R4, NHSO2R3, SO2R3, SO2NR3R4, SR3, CN, halo, NO2; R2 = R5, R6, COR5, COR6, CONHR5, CONHR6, CON(R6)2, COOR5, COOR6, SO2R5, SO2R6; R3, R4 = H, alkyl, cycloalkyl, etc.; R5 = (un)substituted (hetero)aryl; R6 = H, alkyl, cycloalkyl, etc.], were prepared and formulated. E.g., a 4-step synthesis of N,N'-bis[4-(trifluoromethyl)phenyl]-4,4'-bipyridine-2,2'-diamine, starting from 2-chloropyridine, was given. Typical Ki values for the compds. I are in the range of about 0.001 to about 10,000 nM in assay for inhibition of JNK3.

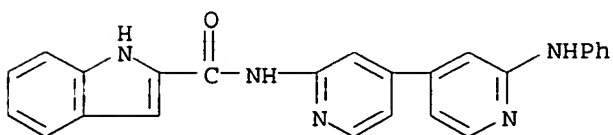
IT 712268-63-8P 712268-95-6P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 4,4'-bipyridine-2,2'-diamine derivs. as JNK inhibitors)

RN 712268-63-8 CAPLUS

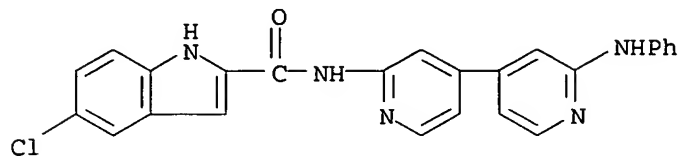
CN 1H-Indole-2-carboxamide, N-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI)  
(CA INDEX NAME)



10/825,279

RN 712268-95-6 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[2'-(phenylamino)[4,4'-bipyridin]-2-yl]- (9CI) (CA INDEX NAME)



L4 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:875249 CAPLUS

DN 139:364824

TI Preparation of indole-2-carboxamide derivatives as glycogen phosphorylase inhibitors for treatment of diabetes

IN Onda, Kenichi; Suzuki, Takayuki; Shiraki, Ryota; Yonetoku, Yasuhiro; Ogiyama, Takashi; Maruyama, Tatsuya; Momose, Kazuhiro

PA Yamanouchi Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 54 pp.

CODEN: PIXXD2

DT Patent

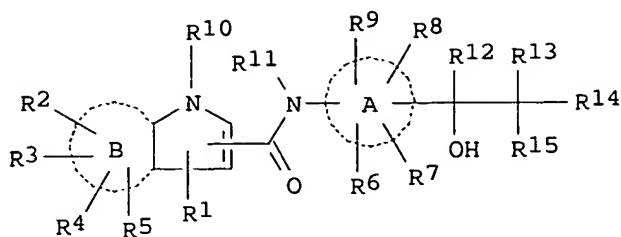
LA Japanese

FAN.CNT 1

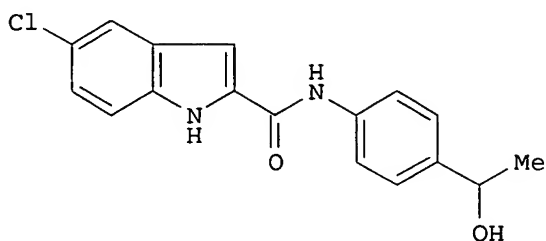
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003091213	A1	20031106	WO 2003-JP5198	20030423
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				JP 2002-123926	A 20020425

OS MARPAT 139:364824

GI



I



II

AB The title compds. I [wherein ring A = aryl or aromatic heterocyclyl; ring B = benzene or thiophene; R1-R9 = independently H, halo, OH, alkoxy, aryl, aryloxy, alkyl-CO-, alkyl-CH(OH)-, aryl-CO-, aryl-CH(OH)-, HO-alkylene, NH2, CN, CO2H, oxo, CO2-alkyl, aryl-alkylene(oxy), aryl-CONH-, (un)substituted alkyl, -O-alkylene-CO2H, or -O-alkylene-CONH2; R10 = H or alkyl; R11 = H, alkyl, or aryl-alkylene-; R12-R15 = independently H, OH, halo, alkoxy, HO-alkylene-, aryloxy, aromatic heterocyclyl, aryl-alkylene-, HO2C-alkylene-, -alkylene-CO2-alkyl, acyl, alkyl-CO2, alkyl-CH(OH)-, aryl-CH(OH)-, (un)substituted alkyl, -alkylene-CONH2, or aryl; etc.] and salts thereof are prepared as glycogen phosphorylase inhibitors. I are useful for the treatment of insulin-dependent diabetes (type 1 diabetes), insulin-independent diabetes (type 2 diabetes), insulin resistant disease, and obesity (no data). For example, the compound II was prepared in a multi-step synthesis. II showed IC50 of 0.25  $\mu$ M against human glycogen phosphorylase.

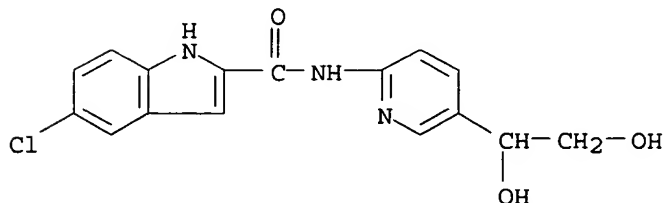
IT 620596-19-2P 620596-21-6P 620596-22-7P  
620596-57-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of indolecarboxamide derivs. as glycogen phosphorylase inhibitors for treatment of diabetes)

RN 620596-19-2 CAPLUS

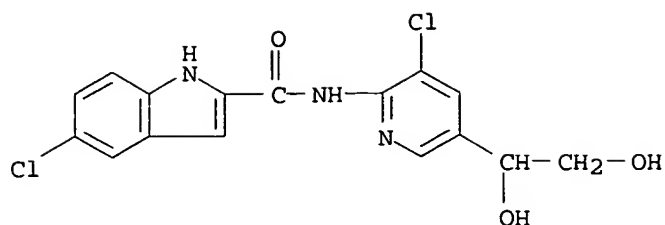
CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxyethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 620596-21-6 CAPLUS

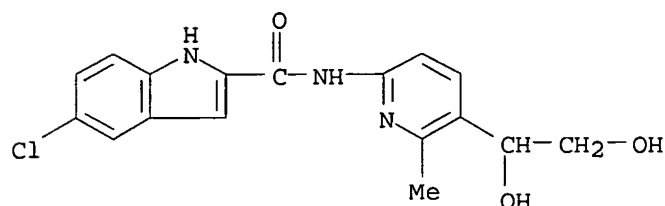
CN 1H-Indole-2-carboxamide, 5-chloro-N-[3-chloro-5-(1,2-dihydroxyethyl)-2-pyridinyl]- (9CI) (CA INDEX NAME)

10/825,279



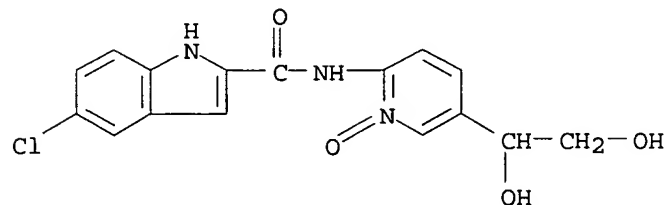
RN 620596-22-7 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxyethyl)-6-methyl-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 620596-57-8 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxyethyl)-1-oxido-2-pyridinyl]- (9CI) (CA INDEX NAME)



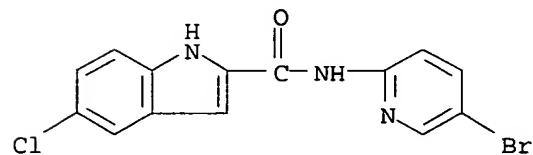
IT 620596-72-7P 620596-75-0P 620596-90-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of indolecarboxamide derivs. as glycogen phosphorylase inhibitors for treatment of diabetes)

RN 620596-72-7 CAPLUS

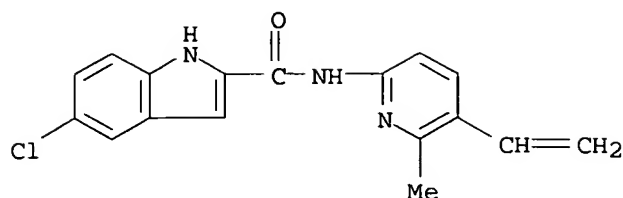
CN 1H-Indole-2-carboxamide, N-(5-bromo-2-pyridinyl)-5-chloro- (9CI) (CA INDEX NAME)



RN 620596-75-0 CAPLUS

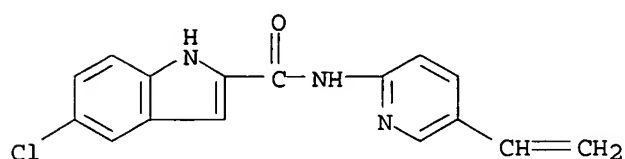
CN 1H-Indole-2-carboxamide, 5-chloro-N-(5-ethenyl-6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)

10/825,279



RN 620596-90-9 CAPLUS

CN 1H-Indole-2-carboxamide, 5-chloro-N-(5-ethenyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:551374 CAPLUS

DN 139:117331

TI Preparation of polyamide analogs possessing antibacterial, antifungal, and/or antitumor activity

IN Dyatkina, Natalia B.; Shi, Dong-fang; Roberts, Christopher Don; Velligan, Mark Douglas; Liehr, Sebastian Johannes Reinhard; Botyanszki, Janos; Zhang, Wentao; Khorlin, Alexander; Nelson, Peter Harold; Muchowski, Joseph Martin

PA Genelabs Technologies, Inc., USA; et al.

SO PCT Int. Appl., 174 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003057212	A1	20030717	WO 2002-US41087	20021224
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
				US 2001-343796P	P 20011226
				US 2001-343829P	P 20011226
	US 2003212113	A1	20031113	US 2002-328710	20021224
				US 2001-343796P	P 20011226
				US 2001-343829P	P 20011226
	BR 2002007583	A	20040427	BR 2002-7583	20021224
				US 2001-343796P	P 20011226
				US 2001-343829P	P 20011226

10/825,279

NO 2003003773

A

20031023

WO 2002-US41087

W 20021224

NO 2003-3773

20030825

US 2001-343796P

P 20011226

US 2001-343829P

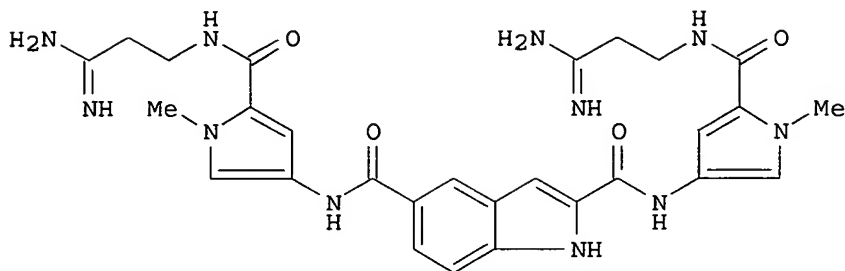
P 20011226

WO 2002-US41087

W 20021224

OS MARPAT 139:117331

GI



I

AB Compds. of formula  $R_1Z_1COX_1NHCOX_2CONHX_3COZ_2R_2$  [wherein  $Z_1$  and  $Z_2$  = independently  $NR_3$ , O;  $R_3$  = H, alkyl;  $R_1$  and  $R_2$  = independently substituted alkyl or aryl, (un)substituted heteroaryl;  $X_2$  = (un)substituted aryl or heteroaryl, alkenyl, alkynyl, cycloalkyl, heterocyclic;  $X_1$  and  $X_3$  = independently (un)substituted aryl or heteroaryl,  $CHR_4$ ;  $R_4$  = (un)natural amino acid side chain; or their pharmaceutically acceptable salts] were prepared as topoisomerase inhibitors (no data) for use as antibacterial, antifungal, and/or antitumor agents. For example, 1H-indole-2,5-dicarboxylic acid dipentafluorophenyl ester was reacted with at least two equivalent of 4-amino-1-methyl-1H-pyrrole-2-carboxylic acid [2-(carbamiimidoyl)ethyl]amide in DMF to give I. Compds. of the invention exhibited antibacterial and antifungal activity with some having minimal inhibitory concns. of  $<45.5 \mu M$ . DNA binding assays showed that invention compds. bind to DNA very tightly, with apparent  $K_{d,app}$  values below 100 nM for most compds. tested.

IT **386252-14-8P**

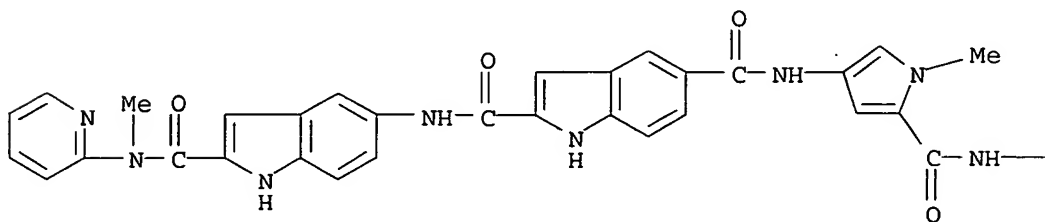
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

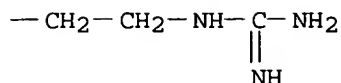
(drug candidate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

RN 386252-14-8 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



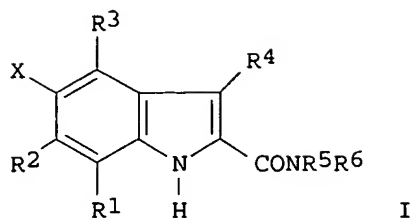


RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2003:335082 CAPLUS  
DN 138:353834  
TI Preparation of indolecarboxamides as protein kinase and phosphatase inhibitors  
IN Hangauer, David G., Jr.; El-Araby, Moustafa E.; Milkiewicz, Karen L.; Nicotera, Thomas; Henderson, Donald  
PA The Research Foundation of State University of New York, USA; Roswell Park Cancer Institute  
SO PCT Int. Appl., 305 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2003035621	A1	20030501	WO 2002-US33660	20021019	
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG		
				US 2001-336191P	P 20011022	
				US 2002-410726P	P 20020913	
	US 2003166615	A1	20030904	US 2002-277217	20021019	
				US 2001-336191P	P 20011022	
	US 2004019015	A1	20040129	US 2002-277220	20021019	
				US 2001-336191P	P 20011022	
				US 2002-410726P	P 20020913	
	EP 1444204	A1	20040811	EP 2002-773833	20021019	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK		
				US 2001-336191P	P 20011022	
				US 2002-410726P	P 20020913	
				WO 2002-US33660	W 20021019	
OS	MARPAT 138:353834					
GI						





AB The present invention provides a method for identifying inhibitors of protein kinases and/or protein phosphatases. Methods are also provided for inhibiting protein kinase and/or protein phosphatase activity. Specific non-peptide protein tyrosine kinase and/or protein phosphatase inhibitors I [X = halogen; R1-R6 = (un)substituted acyl, CONH2, CO2H, C(O)SH, OH, NH2, NHCONH2, SH, P(O)(OH)2, B(OH)2, halogen, aryl, heteroaryl, biaryl, heterocyclic, alkyl; NR5R6 = heterocyclic] were prepared. Thus, N-(3-fluorobenzyl)-5-fluoro-1H-indole-2-carboxamide was prepared by amide coupling and gave 26% inhibition of epidermal growth factor receptor tyrosine kinase at 10  $\mu$ M. The protein kinase or protein phosphatase inhibitors of the present invention may be used to treat a number of conditions in patients, including cancer, psoriasis, arthrosclerosis, immune system activity, diabetes, or obesity. In addition, the present invention provides a method for protecting against or treating hearing loss in a subject. This method involves administering an effective amount of a protein tyrosine kinase inhibitor to the subject to protect against or to treat hearing loss.

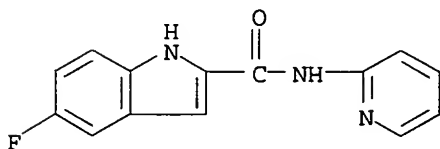
IT **518060-39-4P**

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of indolecarboxamides as protein kinase and phosphatase inhibitors)

RN 518060-39-4 CAPLUS

CN 1H-Indole-2-carboxamide, 5-fluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:282390 CAPLUS

DN 138:304157

TI Preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for the treatment of cardiovascular diseases

IN Ergueden, Jens-kerim; Krahn, Thomas; Schroeder, Christian; Stasch, Johannes-peter; Weigand, Stefan; Wild, Hanno; Brands, Michael; Siegel, Stephan; Heimbach, Dirk; Keldenich, Joerg

PA Bayer Aktiengesellschaft, Germany

SO PCT Int. Appl., 142 pp.

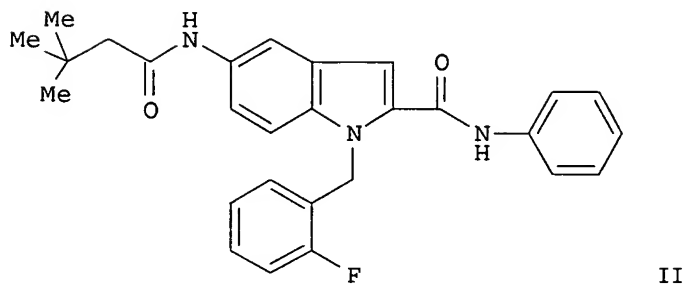
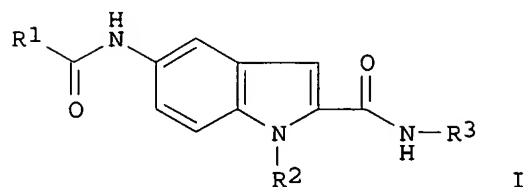
CODEN: PIXXD2

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003028719	A1	20030410	WO 2002-EP10349	20020916
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	DE 10147672	A1	20030410	DE 2001-10147672	A 20010927
	EP 1432415	A1	20040630	DE 2001-10147672	20010927
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			EP 2002-767488	20020916
				DE 2001-10147672	A 20010927
	US 2005038101	A1	20050217	WO 2002-EP10349	W 20020916
				US 2004-490821	20040916
				DE 2001-10147672	A 20010927
				WO 2002-EP10349	W 20020916
OS	MARPAT 138:304157				
GI					



AB Title compds. I [R1 = alkyl, alkenyl, etc.; R2 = (cyclo)alkyl, aryl, etc.; R3 = cycloalkyl; heterocyclyl, aryl, etc.; R4 = H, alkyl, cycloalkyl, heterocyclyl, etc.] are prepared For instance, 5-nitro-1-(2-fluorobenzyl)-1H-indol-2-carboxylic acid Et ester (preparation given) is saponified (DMSO, water, KOH), coupled to aniline (CH<sub>2</sub>Cl<sub>2</sub>, SOCl<sub>2</sub>), reduced to the aniline derivative (EtOH, SnCl<sub>2</sub>) and acylated to give II. II has IC<sub>50</sub> = 1 μM for the endothelin-converting enzyme (ECE). I are useful for the treatment of

10/825,279

cardiovascular diseases.

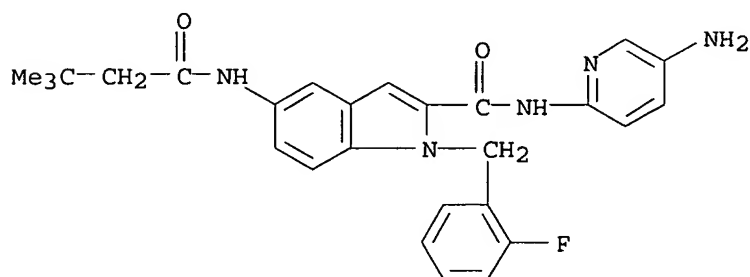
IT **509149-88-6P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509149-88-6 CAPLUS

CN 1H-Indole-2-carboxamide, N-(5-amino-2-pyridinyl)-5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



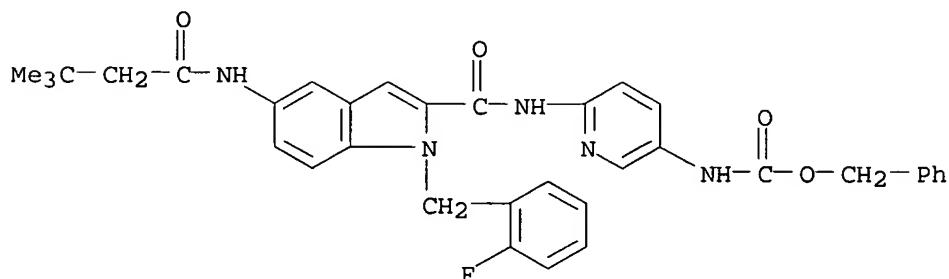
IT **509150-45-2P 509150-46-3P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509150-45-2 CAPLUS

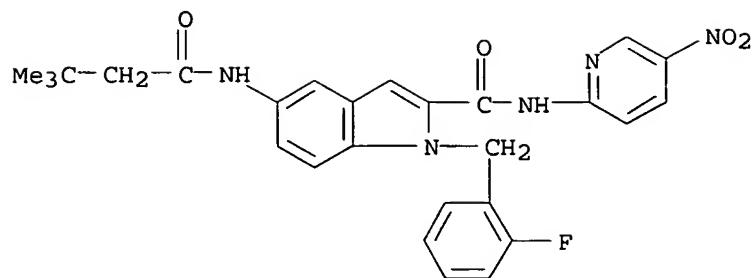
CN Carbamic acid, [6-[[[5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-1H-indol-2-yl]carbonyl]amino]-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 509150-46-3 CAPLUS

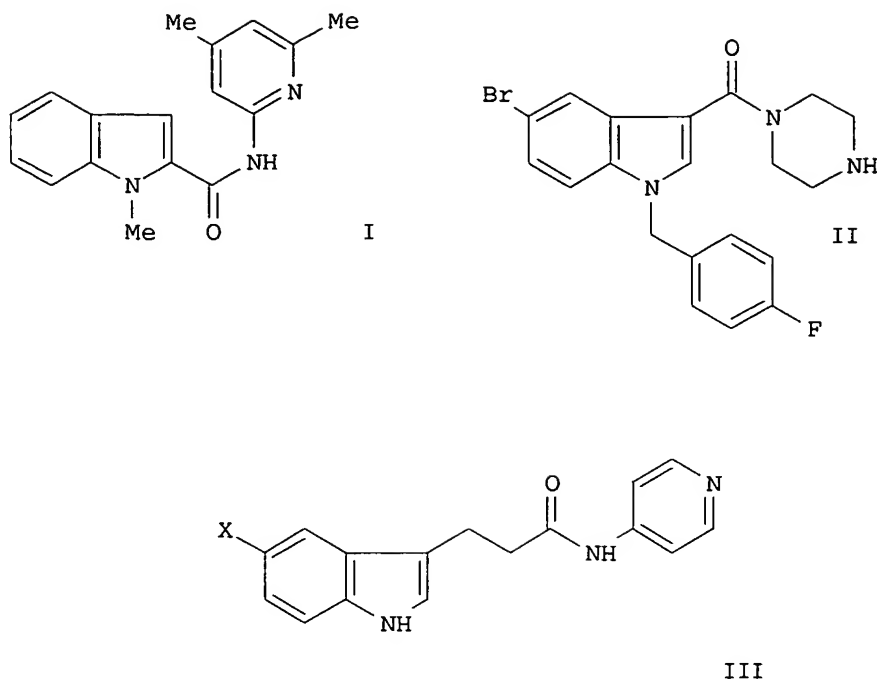
CN 1H-Indole-2-carboxamide, 5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)

10/825,279



RE.CNT 5        THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD  
                 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4    ANSWER 10 OF 17    CAPLUS    COPYRIGHT 2005 ACS on STN  
AN    2003:159952    CAPLUS  
DN    138:368703  
TI    New N-pyridinyl(methyl)-indole-2- and 3-(Alkyl)carboxamides and  
      Derivatives Acting as Systemic and Topical Inflammation Inhibitors  
AU    Breteche, Anne; Duflos, Muriel; Dassonville, Alexandra; Nourrisson,  
      Marie-Renee; Brelet, Jacques; Le Baut, Guillaume; Grimaud, Nicole; Petit,  
      Jean-Yves  
CS    Laboratoires de Chimie Organique et de Chimie Therapeutique, UPRES EA  
      1155, Faculte de Pharmacie, Nantes, 44035, Fr.  
SO    Journal of Enzyme Inhibition and Medicinal Chemistry (2002), 17(6),  
      415-424  
      CODEN: JEIMAZ; ISSN: 1475-6366  
PB    Taylor & Francis Ltd.  
DT    Journal  
LA    English  
OS    CASREACT 138:368703  
GI



AB A series of novel N-substituted-(indol-2-yl) carboxamides, e.g. I, and (indol-3-alkyl)carboxamides, e.g. II, were synthesized and evaluated as inhibitors of the inflammation process. Pharmacomodulation at the level of the amidic nitrogen by incorporation of the previously described pharmacophoric moieties 6-aminolutidine,  $\beta$ -picolylamine, 4-aminopyridine and piperazine was investigated; only two compds. I and II exhibited significant (~40%) inhibitory effect in the carrageenan-induced rat paw edema after oral administration of a dose of 0.1mMkg<sup>-1</sup>. Replacement of the indole core by indazole failed to increase activity. Incorporation of an alkyl chain spacer led to more efficient compds., e.g. III (X=H or F), especially in the indolepropanamide sub-series. Determination of the

efficiency of the most active compds. on topical inflammation, by measuring reductio of ear thickness in the acute tetradecanoyl phorbol acetate (TPA)-induced mouse ear swelling assay, confirmed the high potency of propanamides III (X=H or F) after oral administration: ID<sub>50</sub>=0.041±0.013 and 0.042±0.016mMkg<sup>-1</sup> resp. The less toxic propanamide III (X=F) exerted a high level of inhibitory activity after topical application of 2 x 100µg/ear: 78±2%.

IT 142877-66-5P 521276-45-9P 521276-46-0P  
521276-47-1P

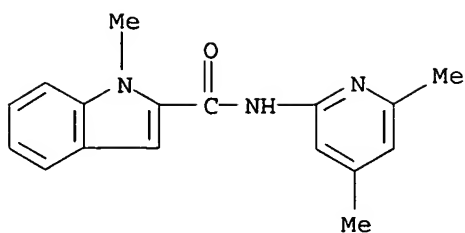
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of N-pyridinyl(methyl)-indole-2- and 3-(alkyl)carboxamides and derivs. acting as systemic and topical inflammation inhibitors)

RN 142877-66-5 CAPLUS

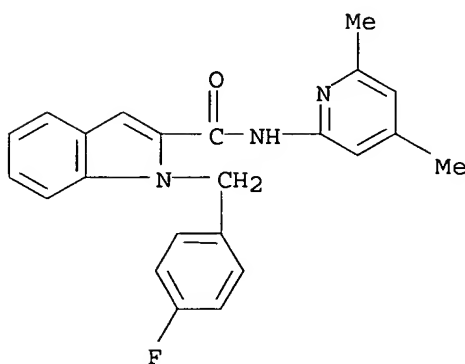
CN 1H-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-methyl- (9CI) (CA INDEX NAME)

10/825,279



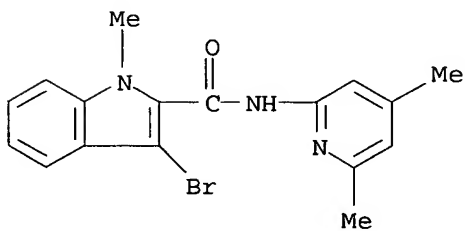
RN 521276-45-9 CAPLUS

CN 1H-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



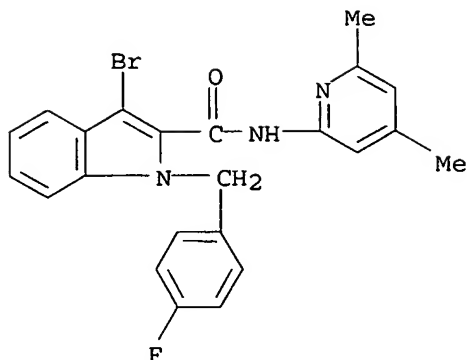
RN 521276-46-0 CAPLUS

CN 1H-Indole-2-carboxamide, 3-bromo-N-(4,6-dimethyl-2-pyridinyl)-1-methyl- (9CI) (CA INDEX NAME)



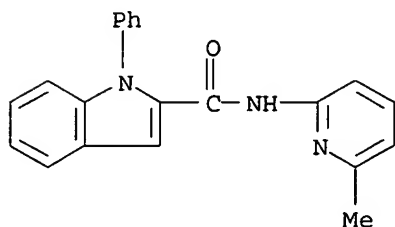
RN 521276-47-1 CAPLUS

CN 1H-Indole-2-carboxamide, 3-bromo-N-(4,6-dimethyl-2-pyridinyl)-1-[(4-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

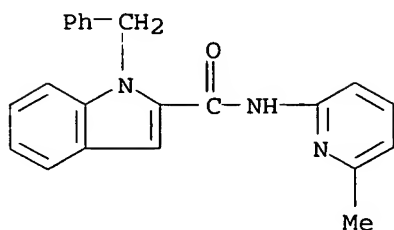
L4 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2002:737351 CAPLUS  
DN 138:265138  
TI Synthesis and antioxidant properties of novel N-substituted  
indole-2-carboxamide and indole-3-acetamide derivatives  
AU Olgen, Sureyya; Coban, Tulay  
CS Department of Pharmaceutical Chemistry, Faculty of Pharmacy, University of  
Ankara, Ankara, 06100, Turk.  
SO Archiv der Pharmazie (Weinheim, Germany) (2002), 335(7), 331-338  
CODEN: ARPMAS; ISSN: 0365-6233  
PB Wiley-VCH Verlag GmbH & Co. KGaA  
DT Journal  
LA English  
OS CASREACT 138:265138  
AB A series of N-substituted indole-2-carboxamide and indole-3-acetamide  
derivs. have been prepared and their in vitro effects on rat liver lipid  
peroxidn. levels and superoxide anion formation were determined The results  
clearly demonstrate that indole derivs. 4, 5, 10, 15, 17 are very  
efficient antioxidants compared to  $\alpha$ -tocopherol.  
IT 503617-64-9P 503617-70-7P 503617-71-8P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)  
(synthesis, antioxidative effect and structure-activity relationship of  
novel N-substituted indole-2-carboxamide and indole-3-acetamide  
derivs.)  
RN 503617-64-9 CAPLUS  
CN 1H-Indole-2-carboxamide, N-(6-methyl-2-pyridinyl)-1-phenyl- (9CI) (CA  
INDEX NAME)



RN 503617-70-7 CAPLUS  
CN 1H-Indole-2-carboxamide, N-(6-methyl-2-pyridinyl)-1-(phenylmethyl)- (9CI)

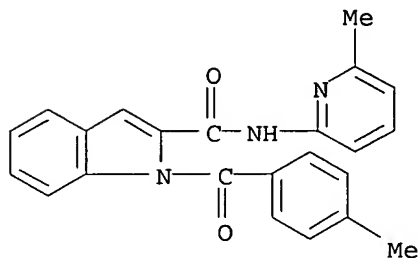
10/825,279

(CA INDEX NAME)



RN 503617-71-8 CAPLUS

CN 1H-Indole-2-carboxamide, 1-(4-methylbenzoyl)-N-(6-methyl-2-pyridinyl)-  
(9CI) (CA INDEX NAME)

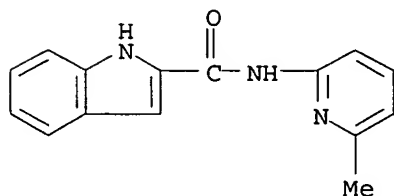


IT 503617-68-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(synthesis, antioxidative effect and structure-activity relationship of  
novel N-substituted indole-2-carboxamide and indole-3-acetamide  
derivs.)

RN 503617-68-3 CAPLUS

CN 1H-Indole-2-carboxamide, N-(6-methyl-2-pyridinyl)- (9CI) (CA INDEX NAME)



RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:10469 CAPLUS

DN 136:85750

TI Preparation of novel compounds possessing antibacterial, antifungal or  
antitumor activity

IN Zhang, Wentao; Liehr, Sebastian Johannes R.; Velligan, Mark Douglas;  
Dyatkina, Natalia B.; Botyanszki, Janos; Shi, Dong-Fang; Roberts,  
Christopher Don; Khorlin, Alexander; Nelson, Peter Harold; Muchowski,  
Joseph Martin

PA Genelabs Technologies, Inc., USA

SO PCT Int. Appl., 141 pp.



10/825,279

CODEN: PIXXD2

DT Patent

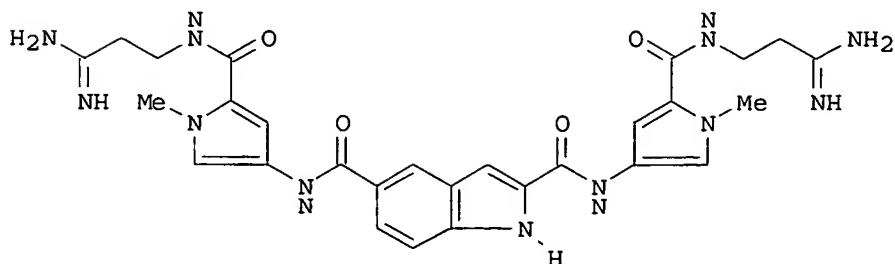
LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
PI	WO 2002000650	A2	20020103	WO 2001-US20334	20010626	
	WO 2002000650	A3	20021024			
	W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM		
	RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG		
				US 2000-214478P	P 20000627	
CA	2414512	AA	20020103	CA 2001-2414512	20010626	
				US 2000-214478P	P 20000627	
				WO 2001-US20334	W 20010626	
US	2002037856	A1	20020328	US 2001-892327	20010626	
US	6849713	B2	20050201			
				US 2000-214478P	P 20000627	
EP	1294713	A2	20030326	EP 2001-948740	20010626	
	R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR		
				US 2000-214478P	P 20000627	
				WO 2001-US20334	W 20010626	
BR	2001012030	A	20030429	BR 2001-12030	20010626	
				US 2000-214478P	P 20000627	
				WO 2001-US20334	W 20010626	
JP	2004501915	T2	20040122	JP 2002-505774	20010626	
				US 2000-214478P	P 20000627	
				WO 2001-US20334	W 20010626	
NZ	522839	A	20041126	NZ 2001-522839	20010626	
				US 2000-214478P	P 20000627	
				WO 2001-US20334	W 20010626	
US	2003119749	A1	20030626	US 2002-277666	20021023	
				US 2000-214478P	P 20000627	
				US 2001-892327	A3 20010626	
NO	2002005720	A	20030226	NO 2002-5720	20021128	
				US 2000-214478P	P 20000627	
				WO 2001-US20334	W 20010626	
ZA	2002009774	A	20040302	ZA 2002-9774	20021202	
				US 2000-214478P	P 20000627	

OS MARPAT 136:85750

GI



I

AB Compds. of formula  $R1Z1COX1NHCOX2CONHX3COZ2R2$  ( $Z1$  and  $Z2$  = independently  $NR3$ ,  $O$ ;  $R3$  =  $H$ , alkyl;  $R1$  and  $R2$  = independently substituted alkyl or aryl, (un)substituted heteroaryl;  $X2$  = (un)substituted aryl or heteroaryl, alkenyl, alkynyl, cycloalkyl, heterocyclic;  $X1$  and  $X3$  = independently (un)substituted aryl or heteroaryl,  $CHR4$ ;  $R4$  = (un)natural amino acid side chain) or their pharmaceutically acceptable salts were prepared and possess one or more of the following activities: antibacterial, antifungal and antitumor activity. For example, 1H-Indole-2,5-dicarboxylic acid dipentafluorophenyl ester was reacted with at least two equivalent of 4-amino-1-methyl-1H-pyrrole-2-carboxylic acid (2-carbamimidoyl-ethyl)-amide in DMF to give compound I. Compds. of this invention exhibited antibacterial and antifungal activity with some having minimal inhibitory concns. of  $<45.5 \mu M$ . Studies of their DNA binding properties demonstrated that they bind to DNA very tightly, with apparent  $K_d$ ,app values below 100 nM for most compds. tested.

IT **386252-14-8P**

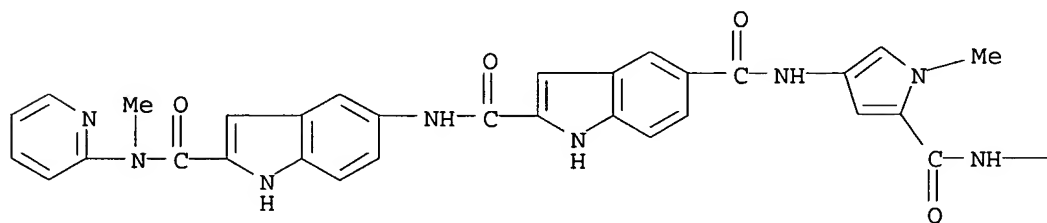
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

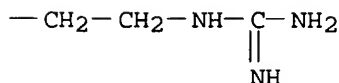
RN 386252-14-8 CAPLUS

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L4 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:366093 CAPLUS

DN 134:361366

TI Amides as apolipoprotein A-I expression stimulators

IN Yamamori, Teruo; Nagata, Kiyoshi; Ishizuka, Natsuki; Sakai, Katsunori

PA Shionogi and Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 40 pp.

CODEN: JKXXAF

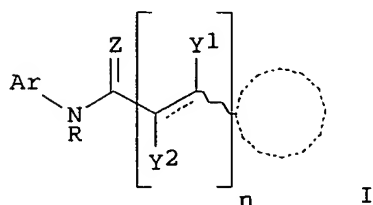
DT Patent

LA Japanese

FAN.CNT 1

10/825,279

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 2001139550	A2	20010522	JP 1999-326416	19991117
				JP 1999-326416	19991117
OS	MARPAT 134:361366				
GI					



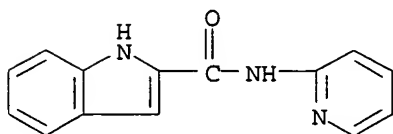
AB The stimulators, useful for treatment of arteriosclerosis and blood lipid disorder, comprise I [A = (un)substituted mono or dicyclic aromatic hydrocarbyl, heterocyclyl, etc.; Ar1 = (un)substituted mono or dicyclic aromatic hydrocarbyl, heterocyclyl; R = H, (un)substituted lower alkyl; Z = O, S; Y1, Y2 = H, halo, (un)substituted lower alkyl, CO<sub>2</sub>H, (un)substituted lower alkoxy carbonyl, cyano, etc.; n = 0-2; dotted line represents optional double bond], their prodrug, pharmaceutically acceptable salts, or hydrates. P-toluidine was reacted with p-chlorobenzoyl chloride in the presence of pyridine in CHCl<sub>3</sub> at room temperature for 5 h to give 81.6% 4-chloro-N-(4-tolyl)benzamide showing good stimulating activity for promoting human apolipoprotein A-I production gene.

IT **340258-78-8P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(amides as apolipoprotein A-I expression stimulators)

RN 340258-78-8 CAPLUS

CN 1H-Indole-2-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:57804 CAPLUS

DN 124:164314

TI Non-carboxylic antiinflammatory compounds. III. N-(4,6-Dimethylpyridin-2-yl)arylcarboxamides and arylthiocarboxamides acting as brain edema inhibitors

AU Robert J. M. H.; Robert-Piessard, S.; Courant, J.; Le Baut, G.; Robert, B.; Lang, F.; Petit, J. Y.; Grimaud, N.; Welin, L.

CS Lab. chimie organique chimie therapeutique, Faculte pharmacie, Nantes, 44035, Fr.

SO European Journal of Medicinal Chemistry (1995), 30(12), 915-24

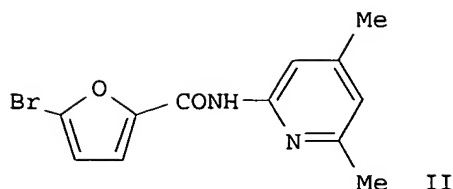
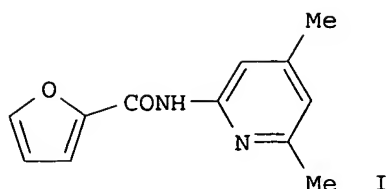
CODEN: EJMCA5; ISSN: 0223-5234

PB Elsevier

DT Journal

LA English

GI



AB Pharmacomodulation of the non-carboxylic NSAID N-(4,6-dimethylpyridin-2-yl)benzamide led to the synthesis of structurally related furan, thiophene and pyrrole carboxamides. Benzenethiocarboxamides and heteroarylthiocarboxamides were also prepared by oxygen/sulfur exchange; this reaction was more efficiently carried out by P4S10 than by Lawesson's reagent. The 20 synthesized compds. were evaluated against peripheral edema by a foot-pad carrageenin-induced edema test. Two amides, (I) and (II), were selected for evaluation of their inhibitory activity in PLA2-induced brain edema and were more potent than dexamethasone after IP administration.

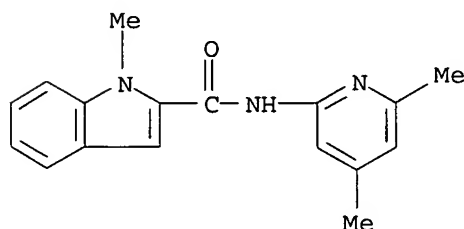
IT **142877-66-5P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and antiinflammatory structure activity of arylthiocarboxamides and benzenethiocarboxamides)

RN 142877-66-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1992:501515 CAPLUS

DN 117:101515

TI N-(4,6-dimethylpyridin-2-yl)(1-methylindol-2-yl)carboxamide

AU Rodier, N.; Cense, J. M.; Robert, J. M.; Le Baut, G.

CS Lab. Chim. Miner., Fac. Sci. Pharm. Biol., Chatenay-Malabry, 92296, Fr.

SO Acta Crystallographica, Section C: Crystal Structure Communications (1992), C48(6), 1148-50

CODEN: ACSCEE; ISSN: 0108-2701

DT Journal

LA French

AB The title compound is orthorhombic, space group P212121, with a 6.3847(8), b 10.234(1), and c 22.251(3) Å; Z = 4, dc = 1.276, T = 294(1) K, R = 0.048 for 1019 reflections. Atomic coordinates are given. The whole mol. is approx. planar. The least-squares planes of the pyridyl ring and the indolyl group make an angle of 2(2)°. The intramol.

10/825,279

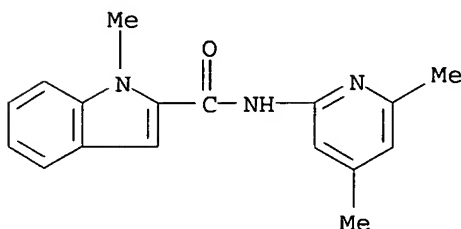
C(3)-H(3)···O(20) H bond [2.859(5) Å, 118(3)°]] forms a pseudo-cycle and contributes to the planarity of the mol. There is a delocalized orbital along the amide group. The title compound belongs to a family whose numerous members proved to have anti-inflammatory properties. Its crystal structure was solved to compare its mol. geometry with the geometries of active mols.

IT **142877-66-5**

RL: PRP (Properties)  
(crystal structure of)

RN 142877-66-5 CAPLUS

CN 1H-Indole-2-carboxamide, N-(4,6-dimethyl-2-pyridinyl)-1-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1989:231432 CAPLUS

DN 110:231432

TI Preparation of N-substituted indolecarboxamides and indolemethylanines as nervous system agents

IN Uhlendorf, Joachim; Borbe, Harald; Ruecker, Werner

PA Nattermann, A., und Cie. G.m.b.H., Fed. Rep. Ger.

SO Ger. Offen., 7 pp.

CODEN: GWXXBX

DT Patent

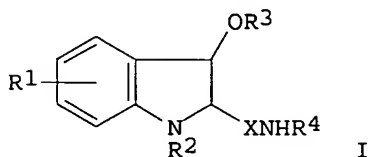
LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3705934	A1	19880908	DE 1987-3705934	19870225
				DE 1987-3705934	19870225

OS CASREACT 110:231432; MARPAT 110:231432

GI



AB The title compds. [I; R1 = H, halo, Me, MeO; R2,R3 = H, Me, Et; R4 = pyridyl, imidazolyl, 5-methylisoxazolyl, pyrimidinyl, pyridazinyl, (un) substituted Ph, thiazolyl; Z = CO, CH2] were prepared as nervous system agents (no data). 3-Methoxy-1-methylindole-2-carbonyl chloride was stirred 12 h with 2-aminopyridine in CH2Cl2 containing Et3N to give N-(2-pyridyl)-3-methoxy-1-methylindolecarboxamide.

IT **120271-92-3P 120271-95-6P**

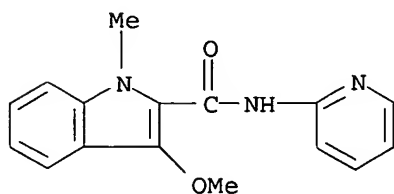
RL: SPN (Synthetic preparation); PREP (Preparation)

10/825,279

(preparation of, as nervous system agent)

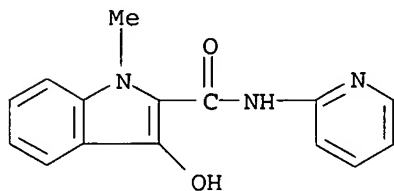
RN 120271-92-3 CAPLUS

CN 1H-Indole-2-carboxamide, 3-methoxy-1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



RN 120271-95-6 CAPLUS

CN 1H-Indole-2-carboxamide, 3-hydroxy-1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



L4 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1977:139898 CAPLUS

DN 86:139898

TI Syntheses of thieno[2,3-c]-, pyrrolo[2,3-c]-, and indolo[2,3-c]diazanaphthalenes by photocyclization of acylaminopyridines

AU Kanaoka, Yuichi; Sannohe, Kunio; Hatanaka, Yasumaru; Itoh, Kazuhiko; Machida, Minoru; Terashima, Masanao

CS Fac. Pharm. Sci., Hokkaido Univ., Sapporo, Japan

SO Heterocycles (1977), 6(1), 29-32

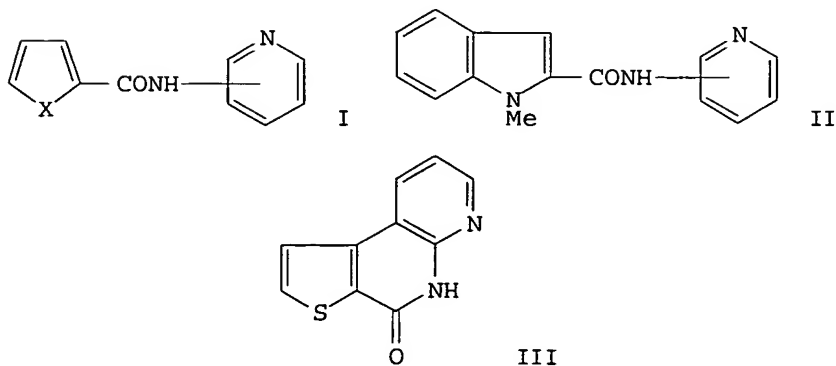
CODEN: HTCYAM; ISSN: 0385-5414

DT Journal

LA English

OS CASREACT 86:139898

GI



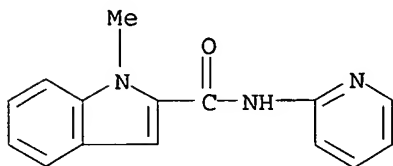
10/825,279

AB Oxidative photocyclization of I (2-, 3- or 4-pyridyl; X = S, NMe) and II (2,3- or 4-pyridyl) gave novel polycyclic systems, e.g., thieno[2,3-c]-, pyrrolo[2,3-c]- and indolo[2,3-c]diazanaphthalenes. E.g., photolysis of I (2-pyridyl, X = S) in the presence of O gave 27% III.

IT 62289-86-5  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(oxidative photocyclization of)

RN 62289-86-5 CAPLUS

CN 1H-Indole-2-carboxamide, 1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)



=> file uspatall

FILE 'USPATFULL' ENTERED AT 13:58:01 ON 29 MAR 2005  
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 13:58:01 ON 29 MAR 2005  
CA INDEXING COPYRIGHT (C) 2005 AMERICAN CHEMICAL SOCIETY (ACS)

=> d 15 1-10 ibib abs hitstr

L5 ANSWER 1 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2005:44368 USPATFULL

TITLE: Substituted 2,5-diamidoindoles as ece inhibitors for the treatment of cardiovascular diseases

INVENTOR(S): Erguden, Jens-Kerim, Wulfrath, GERMANY, FEDERAL REPUBLIC OF  
Krahn, Thomas, Hagen, GERMANY, FEDERAL REPUBLIC OF  
Schroder, Christian, Bergheim, GERMANY, FEDERAL REPUBLIC OF  
Stasch, Johannes-Peter, Solingen, GERMANY, FEDERAL REPUBLIC OF  
Weigand, Stefan, Wuppertal, GERMANY, FEDERAL REPUBLIC OF  
Wild, Hanno, Wuppertal, GERMANY, FEDERAL REPUBLIC OF  
Brands, Michael, Hamden, CT, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2005038101	A1	20050217
APPLICATION INFO.:	US 2004-490821	A1	20040916 (10)
	WO 2002-EP10349		20020916

	NUMBER	DATE
PRIORITY INFORMATION:	DE 2001-147672	20010927
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	JEFFREY M. GREENMAN, BAYER PHARMACEUTICALS CORPORATION, 400 MORGAN LANE, WEST HAVEN, CT, 06516	
NUMBER OF CLAIMS:	9	

10/825,279

EXEMPLARY CLAIM: 1

LINE COUNT: 2640

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention relates to compounds of formula (I), to a method for the production thereof, and to the use of the same as pharmaceuticals for the treatment of diseases in humans and/or animals. ##STR1##

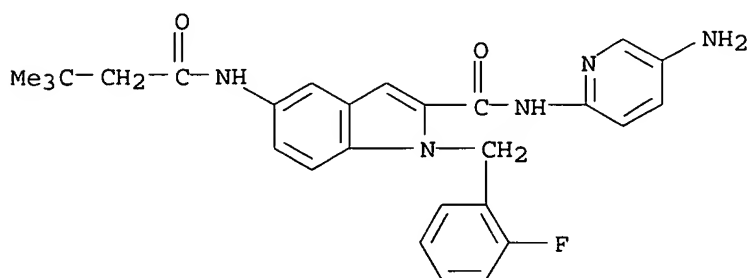
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 509149-88-6P

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509149-88-6 USPATFULL

CN 1H-Indole-2-carboxamide, N-(5-amino-2-pyridinyl)-5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]- (9CI) (CA INDEX NAME)

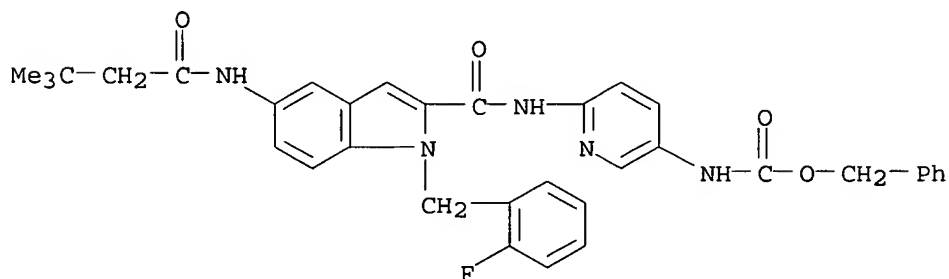


IT 509150-45-2P 509150-46-3P

(preparation of substituted 2,5-diamidoindoles as endothelin-converting enzyme (ECE) inhibitors for treatment of cardiovascular diseases)

RN 509150-45-2 USPATFULL

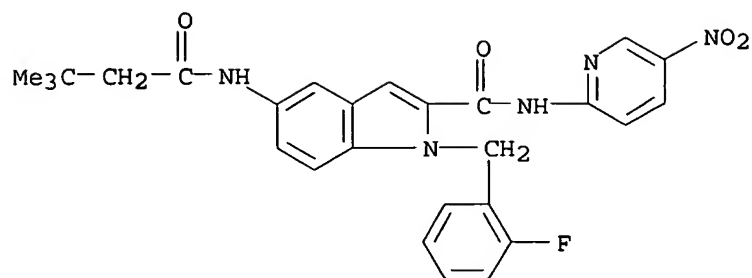
CN Carbamic acid, [6-[[[5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-1H-indol-2-yl]carbonyl]amino]-3-pyridinyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 509150-46-3 USPATFULL

CN 1H-Indole-2-carboxamide, 5-[(3,3-dimethyl-1-oxobutyl)amino]-1-[(2-fluorophenyl)methyl]-N-(5-nitro-2-pyridinyl)- (9CI) (CA INDEX NAME)





L5 ANSWER 2 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:300019 USPATFULL  
 TITLE: Utilities of amide compounds  
 INVENTOR(S): Yamamori, Teruo, Hyogo-ken, JAPAN  
 Nagata, Kiyoshi, Osaka-fu, JAPAN  
 Ishizuka, Natsuki, Osaka-fu, JAPAN  
 Sakai, Katsunori, Osaka-fu, JAPAN

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004235888	A1	20041125
APPLICATION INFO.:	US 2004-489333	A1	20040421 (10)
	WO 2001-JP7980		20010914
DOCUMENT TYPE:	Utility		
FILE SEGMENT:	APPLICATION		
LEGAL REPRESENTATIVE:	WENDEROTH, LIND & PONACK, L.L.P., 2033 K STREET N. W., SUITE 800, WASHINGTON, DC, 20006-1021		
NUMBER OF CLAIMS:	17		
EXEMPLARY CLAIM:	1		
LINE COUNT:	2017		

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds having an activity to enhance the expression of apoAI are provided, which are used as medicaments.

Compounds of formula (I): ##STR1##

in which ring A and Ar.<sup>sup.1</sup> are independently a monocyclic or bicyclic aromatic carbocyclic group or aromatic heterocyclic group, each of which may be optionally substituted, or the like; R is a hydrogen or the like; Z is oxygen or the like; Y.<sup>sup.1</sup> and Y.<sup>sup.2</sup> are a hydrogen, a lower alkyl, or the like; n is an integer of 0 to 2; the broken line is the presence or absence of a bond; and the wavy line represents a cis- or trans-geometrical isomerism with respect to the double bond; are disclosed.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

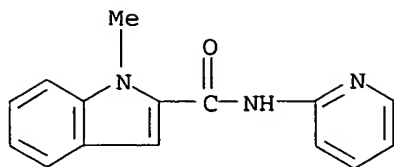
IT 62289-86-5P 340258-78-8P

(drug candidate; preparation of aryl amides, arylpropenamides, and arylpentadienamides as promoters of apolipoprotein AI expression for the treatment of dyslipidemia and arteriosclerotic diseases)

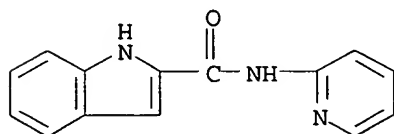
RN 62289-86-5 USPATFULL

CN 1H-Indole-2-carboxamide, 1-methyl-N-2-pyridinyl- (9CI) (CA INDEX NAME)

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RN 340258-78-8 USPATFULL  
CN 1H-Indole-2-carboxamide, N-2-pyridinyl- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 10 USPATFULL on STN  
ACCESSION NUMBER: 2004:292827 USPATFULL  
TITLE: Anti-diabetic agents  
INVENTOR(S): Bussolotti, Donald L., Ledyard, CT, UNITED STATES  
Gammill, Ronald B., Schoolcraft, MI, UNITED STATES  
PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004229916	A1	20041118
APPLICATION INFO.:	US 2004-825279	A1	20040415 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-463691P	20030417 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Pfizer Inc., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	9	
EXEMPLARY CLAIM:	1	
LINE COUNT:	1271	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides compounds of formula (I) ##STR1##

the stereoisomers and prodrugs thereof, and the pharmaceutically acceptable salts of the compounds, stereoisomers, and prodrugs; wherein R.sup.1, R.sup.2, R.sup.a, R.sup.b, X, and Z are as defined herein; pharmaceutical compositions thereof; and uses thereof.

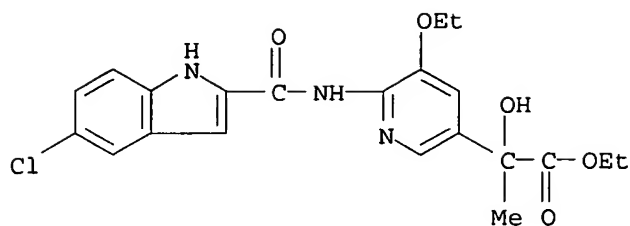
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT **781614-93-5P**, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid ethyl ester  
**781615-11-0P**, 2-[6-[(5-Chloro-1H-indole-2-carbonyl)amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid sodium salt  
(drug candidate and intermediate; preparation of N-pyridinyl bicyclic heterocyclic carboxamides as antidiabetics and inhibitors of glycogen phosphorylase)

RN 781614-93-5 USPATFULL  
CN 3-Pyridineacetic acid, 6-[[[5-chloro-1H-indol-2-yl)carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl-, ethyl ester (9CI) (CA INDEX

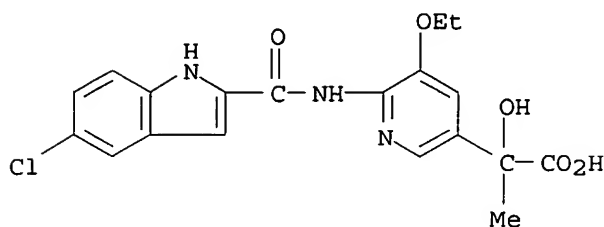
10/825,279

NAME)



RN 781615-11-0 USPATFULL

CN 3-Pyridineacetic acid, 6-[[5-chloro-1H-indol-2-yl]carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl-, monosodium salt (9CI) (CA INDEX NAME)



● Na

IT 781614-91-3P, 2-[6-[[5-Chloro-1H-indole-2-carbonyl]amino]-5-ethoxypyridin-3-yl]-2-methylpropionic acid ethyl ester

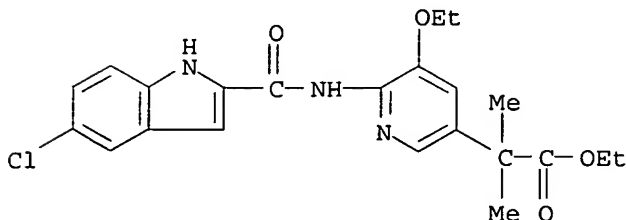
781614-95-7P, 2-[6-[[5-Chloro-1H-indole-2-carbonyl]amino]-5-ethoxypyridin-3-yl]-2-hydroxypropionic acid

781614-96-8P, 5-Chloro-1H-indole-2-carboxylic acid N-[5-(1,2-dihydroxy-1-methylethyl)-3-ethoxypyridin-2-yl]amide 781614-98-0P, 5-Chloro-1H-indole-2-carboxylic acid N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(morpholin-4-yl)-2-oxoethyl]pyridin-2-yl]amide

(drug candidate; preparation of N-pyridinyl bicyclic heterocyclic carboxamides as antidiabetics and inhibitors of glycogen phosphorylase)

RN 781614-91-3 USPATFULL

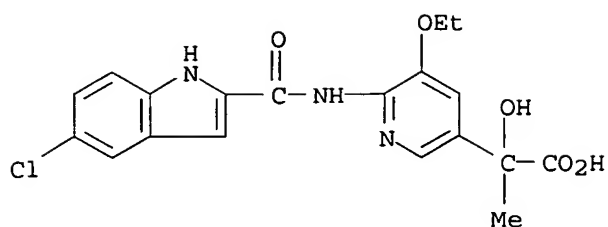
CN 3-Pyridineacetic acid, 6-[[5-chloro-1H-indol-2-yl]carbonyl]amino]-5-ethoxy- $\alpha,\alpha$ -dimethyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 781614-95-7 USPATFULL

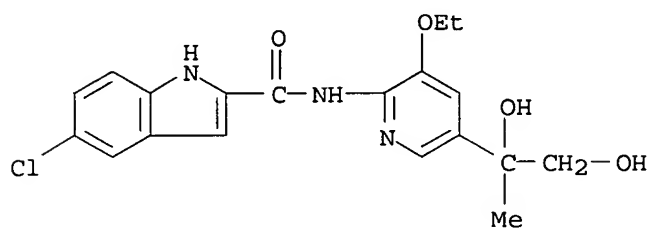
CN 3-Pyridineacetic acid, 6-[[5-chloro-1H-indol-2-yl]carbonyl]amino]-5-ethoxy- $\alpha$ -hydroxy- $\alpha$ -methyl- (9CI) (CA INDEX NAME)

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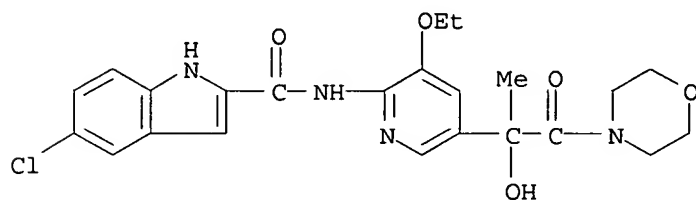
RN 781614-96-8 USPATFULL

CN 1H-Indole-2-carboxamide, 5-chloro-N-[5-(1,2-dihydroxy-1-methylethyl)-3-ethoxy-2-pyridinyl]- (9CI) (CA INDEX NAME)



RN 781614-98-0 USPATFULL

CN 1H-Indole-2-carboxamide, 5-chloro-N-[3-ethoxy-5-[1-hydroxy-1-methyl-2-(4-morpholinyl)-2-oxoethyl]-2-pyridinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 4 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:280928 USPATFULL

TITLE: Anti-diabetic agents

INVENTOR(S): Bussolotti, Donald L., Ledyard, CT, UNITED STATES  
Gammill, Ronald B., Schoolcraft, MI, UNITED STATES

PATENT ASSIGNEE(S): Pfizer Inc (U.S. corporation)

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004220229	A1	20041104
APPLICATION INFO.:	US 2004-837468	A1	20040430 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2003-466667P	20030430 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	PFIZER INC., PATENT DEPARTMENT, MS8260-1611, EASTERN POINT ROAD, GROTON, CT, 06340	
NUMBER OF CLAIMS:	10	
EXEMPLARY CLAIM:	1	

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LINE COUNT: 1803

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The invention provides compounds of formula (I) ##STR1##

the prodrugs thereof, and the pharmaceutically acceptable salts of the compounds and prodrugs; wherein R', R'', R''', X, and Z are as defined herein; pharmaceutical compositions thereof; and uses thereof in treating diabetes, insulin resistance, diabetic neuropathy, diabetic nephropathy, diabetic retinopathy, cataracts, hyperglycemia, hypercholesterolemia, hypertension, hyperinsulinemia, hyperlipidemia, atherosclerosis, and tissue ischemia.

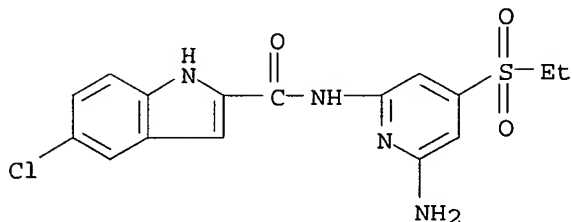
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 783370-03-6P

(preparation of indolecarboxamide and thieno[2,3-b]pyrrolecarboxamide derivs., useful as antidiabetic agents)

RN 783370-03-6 USPATFULL

CN 1H-Indole-2-carboxamide, N-[6-amino-4-(ethylsulfonyl)-2-pyridinyl]-5-chloro- (9CI) (CA INDEX NAME)



L5 ANSWER 5 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2004:25173 USPATFULL

TITLE: Protection against and treatment of hearing loss

INVENTOR(S): Nicotera, Thomas, Buffalo, NY, UNITED STATES  
Henderson, Donald, Williamsville, NY, UNITED STATES  
Hangauer, David G., JR., Amherst, NY, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2004019015	A1	20040129
APPLICATION INFO.:	US 2002-277220	A1	20021019 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-336191P	20011022 (60)
	US 2002-410726P	20020913 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Michael L. Goldman, Esq., NIXON PEABODY LLP, Clinton Square, P.O. Box 31051, Rochester, NY, 14603-1051	
NUMBER OF CLAIMS:	38	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	22 Drawing Page(s)	
LINE COUNT:	3583	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a method for protecting against or treating hearing loss in a subject. This method involves administering an effective amount of a protein tyrosine kinase inhibitor to the subject to protect against or to treat hearing loss.

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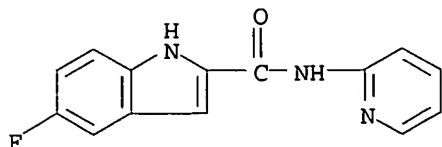
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 518060-39-4P

(preparation of indolecarboxamides as protein kinase and phosphatase inhibitors)

RN 518060-39-4 USPATFULL

CN 1H-Indole-2-carboxamide, 5-fluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)



L5 ANSWER 6 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:300887 USPATFULL

TITLE: Novel aromatic compounds and poly(oxyalkylene) containing aromatic compounds possessing antibacterial, antifungal or antitumor activity

INVENTOR(S): Dyatkina, Natalia B., Mountain View, CA, UNITED STATES  
Shi, Dong-Fang, Fremont, CA, UNITED STATES  
Roberts, Christopher Don, Belmont, CA, UNITED STATES  
Velligan, Mark Douglas, Montara, CA, UNITED STATES  
Reinhard Liehr, Sebastian Johannes, East Palo Alto, CA, UNITED STATES  
Botyanszki, Janos, Fremont, CA, UNITED STATES  
Zhang, Wentao, Foster City, CA, UNITED STATES  
Khorlin, Alexander, Mountain View, CA, UNITED STATES  
Nelson, Peter Harold, Los Altos, CA, UNITED STATES  
Muchowski, Joseph Martin, Sunnyvale, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003212113	A1	20031113
APPLICATION INFO.:	US 2002-328710	A1	20021224 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-343796P	20011226 (60)
	US 2001-343829P	20011226 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Gerald F. Swiss, Esq., BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404	
NUMBER OF CLAIMS:	36	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	21 Drawing Page(s)	
LINE COUNT:	4522	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of the following activities: antibacterial, antifungal and antitumor activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 386252-14-8P

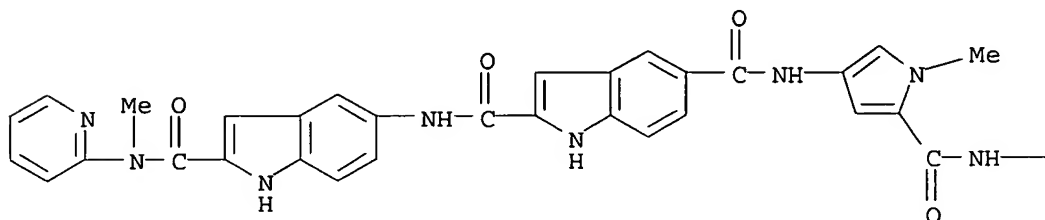
(drug candidate; preparation of polyamides as antibacterial, antifungal, and/or antitumor agents)

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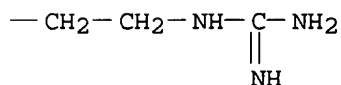
RN 386252-14-8 USPATFULL

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L5 ANSWER 7 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:238457 USPATFULL

TITLE: Protein kinase and phosphatase inhibitors and methods for designing them

INVENTOR(S): Hangauer, David G., JR., Amherst, NY, UNITED STATES  
El-Araby, Moustafa E., Plainsboro, NJ, UNITED STATES  
Milkiewicz, Karen L., Exton, PA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003166615	A1	20030904
APPLICATION INFO.:	US 2002-277217	A1	20021019 (10)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2001-336191P	20011022 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Michael L. Goldman, Esq., NIXON PEABODY LLP, Clinton Square, P.O. Box 31051, Rochester, NY, 14603-1051	
NUMBER OF CLAIMS:	179	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	17 Drawing Page(s)	
LINE COUNT:	5985	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides a method for identifying inhibitors of protein kinases and/or protein phosphatases. Methods are also provided for inhibiting protein kinase and/or protein phosphatase activity. Specific non-peptide protein tyrosine kinase and/or protein phosphatase inhibitors are provided. The protein kinase or protein phosphatase inhibitors of the present invention may be used to treat a number of conditions in patients, including cancer, psoriasis, atherosclerosis,

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immune system activity, Type II diabetes, and obesity.

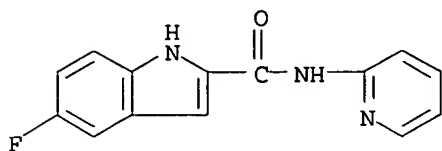
CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 518060-39-4P

(preparation of indolecarboxamides as protein kinase and phosphatase inhibitors)

RN 518060-39-4 USPATFULL

CN 1H-Indole-2-carboxamide, 5-fluoro-N-2-pyridinyl- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2003:173901 USPATFULL

TITLE: Novel compounds possessing antibacterial, antifungal or antitumor activity

INVENTOR(S): Zhang, Wentao, Foster City, CA, UNITED STATES  
Liehr, Sebastian Johannes Reinhard, East Palo Alto, CA, UNITED STATES

Velligan, Mark Douglas, Montara, CA, UNITED STATES  
Dyatkina, Natalia B., Mountain View, CA, UNITED STATES  
Botyanszki, Janos, Cupertino, CA, UNITED STATES  
Shi, Dong-Fang, San Mateo, CA, UNITED STATES  
Roberts, Christopher Don, Belmont, CA, UNITED STATES  
Khorlin, Alexander, Mountain View, CA, UNITED STATES  
Nelson, Peter Harold, Los Altos, CA, UNITED STATES  
Muchowski, Joseph Martin, Sunnyvale, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2003119749	A1	20030626
APPLICATION INFO.:	US 2002-277666	A1	20021023 (10)
RELATED APPLN. INFO.:	Division of Ser. No. US 2001-892327, filed on 26 Jun 2001, PENDING		

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-214478P	20000627 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404	
NUMBER OF CLAIMS:	23	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	6 Drawing Page(s)	
LINE COUNT:	3907	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of the following activities: antibacterial, antifungal and antitumor activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 386252-14-8P



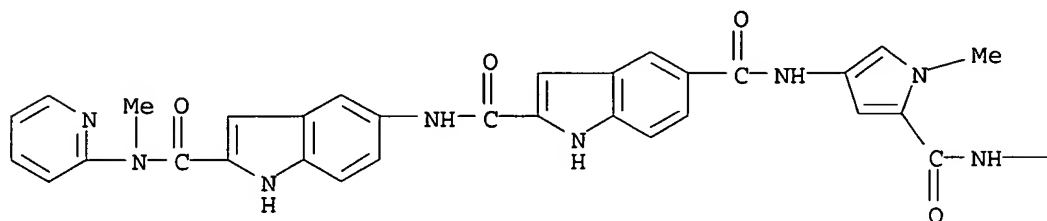
10/825,279

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

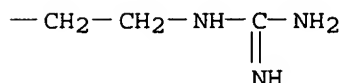
RN 386252-14-8 USPATFULL

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 1-B



L5 ANSWER 9 OF 10 USPATFULL on STN

ACCESSION NUMBER: 2002:67203 USPATFULL

TITLE: Novel compounds possessing antibacterial, antifungal or antitumor activity

INVENTOR(S): Zhang, Wentao, Foster City, CA, UNITED STATES  
Liehr, Sebastian Johannes Reinhard, East Palo Alto, CA, UNITED STATES  
Velligan, Mark Douglas, Montara, CA, UNITED STATES  
Dyatkina, Natalia B., Mountain View, CA, UNITED STATES  
Botyanszki, Janos, Cupertino, CA, UNITED STATES  
Shi, Dong-Fang, San Mateo, CA, UNITED STATES  
Roberts, Christopher Don, Belmont, CA, UNITED STATES  
Khorlin, Alexander, Mountain View, CA, UNITED STATES  
Nelson, Peter Harold, Los Altos, CA, UNITED STATES  
Muchowski, Joseph Martin, Sunnyvale, CA, UNITED STATES

	NUMBER	KIND	DATE
PATENT INFORMATION:	US 2002037856	A1	20020328
	US 6849713	B2	20050201
APPLICATION INFO.:	US 2001-892327	A1	20010626 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-214478P	20000627 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	APPLICATION	
LEGAL REPRESENTATIVE:	Gerald F. Swiss, Esq., BURNS, DOANE, SWECKER & MATHIS, L.L.P., P.O. Box 1404, Alexandria, VA, 22313-1404	
NUMBER OF CLAIMS:	23	

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EXEMPLARY CLAIM: 1  
NUMBER OF DRAWINGS: 16 Drawing Page(s)  
LINE COUNT: 3872

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of the following activities: antibacterial, antifungal and antitumor activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

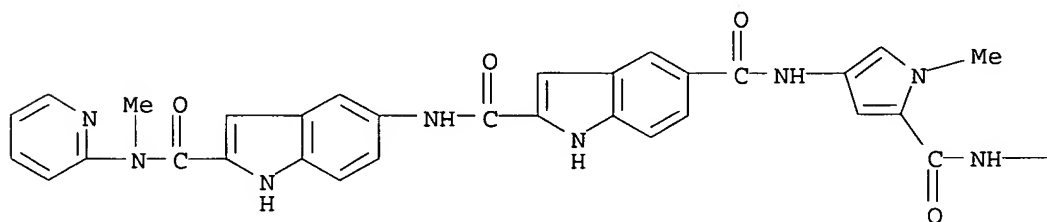
IT 386252-14-8P

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

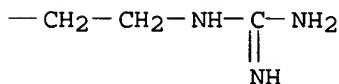
RN 386252-14-8 USPATFULL

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

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L5 ANSWER 10 OF 10 USPAT2 on STN

ACCESSION NUMBER: 2002:67203 USPAT2

TITLE: Compounds possessing antibacterial, antifungal or antitumor activity

INVENTOR(S): Zhang, Wentao, Foster City, CA, United States  
Liehr, Sebastian Johannes Reinhard, East Palo Alto, CA, United States  
Velligan, Mark Douglas, Montara, CA, United States  
Dyatkina, Natalia B., Mountain View, CA, United States  
Botyanszki, Janos, Cupertino, CA, United States  
Shi, Dong-Fang, San Mateo, CA, United States  
Roberts, Christopher Don, Belmont, CA, United States  
Khorlin, Alexander, Mountain View, CA, United States  
Nelson, Peter Harold, Los Altos, CA, United States  
Muchowski, Joseph Martin, Sunnyvale, CA, United States  
PATENT ASSIGNEE(S): Genelabs Technologies, Inc., Redwood City, CA, United States (U.S. corporation)

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	NUMBER	KIND	DATE
PATENT INFORMATION:	US 6849713	B2	20050201
APPLICATION INFO.:	US 2001-892327		20010626 (9)

	NUMBER	DATE
PRIORITY INFORMATION:	US 2000-214478P	20000627 (60)
DOCUMENT TYPE:	Utility	
FILE SEGMENT:	GRANTED	
PRIMARY EXAMINER:	Weddington, Kevin E.	
LEGAL REPRESENTATIVE:	Foley & Lardner, LLP, Yang, Julie	
NUMBER OF CLAIMS:	17	
EXEMPLARY CLAIM:	1	
NUMBER OF DRAWINGS:	0 Drawing Figure(s); 26 Drawing Page(s)	
LINE COUNT:	3787	

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB The present invention provides novel compounds possessing one or more of the following activities: antibacterial, antifungal and antitumor activity. The compounds are of Formula (I): ##STR1##

Pharmaceutical compositions containing these compounds, methods of making and methods for using these compounds are also provided.

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

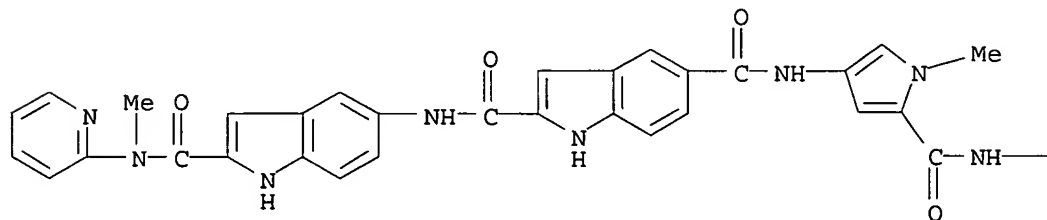
IT **386252-14-8P**

(preparation of novel compds. possessing antibacterial, antifungal or antitumor activity)

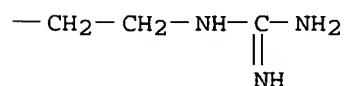
RN 386252-14-8 USPAT2

CN 1H-Indole-2,5-dicarboxamide, N5-[5-[[[2-[(aminoiminomethyl)amino]ethyl]amino]carbonyl]-1-methyl-1H-pyrrol-3-yl]-N2-[2-[(methyl-2-pyridinylamino)carbonyl]-1H-indol-5-yl]- (9CI) (CA INDEX NAME)

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